

CA

10634936 con

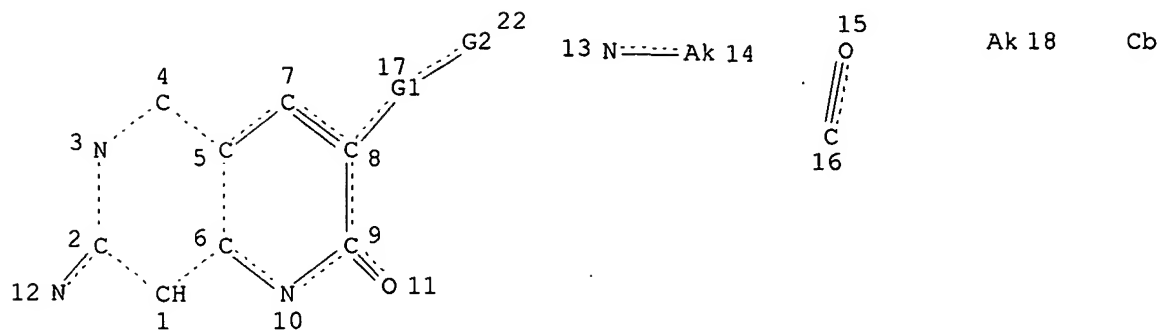
Nwaonicha 10/692,735

02/04/2005

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STR



19 Ak-----Cb 21 O 23 S 24 NH 25

Page 1-A

20

Page 1-B

VAR G1=23/24/25/13-8 13-22/16-8 16-22

VAR G2=18/19/20

NODE ATTRIBUTES:

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CONNECT IS E1 RC AT 14

CONNECT IS E1 RC AT 18

CONNECT IS E2 RC AT 19

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CONNECT IS E1 RC AT 21

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MLEVEL IS CLASS AT 11 12 13 14 15 16 18 19 23 24

GGCAT IS SAT AT 20

GGCAT IS SAT AT 21

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

L4 1 SEA FILE=REGISTRY SSS FUL L2

L5 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L4

=> d 15 ibib abs hitstr

L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:633921 HCAPLUS

DOCUMENT NUMBER: 141:174079

TITLE: Preparation of 2-aminopyridines as cdk4 inhibitors

INVENTOR(S): Biwersi, Cathlin Marie; Mcnamara, Dennis Joseph;

Repine, Joseph Thomas; Toogood, Peter Laurence;
 Vanderwel, Scott Norman; Warmus, Joseph Scott
 PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
 SOURCE: PCT Int. Appl., 89 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| WO 2004065378 | A1 | 20040805 | WO 2004-IB91 | 20040109 |
| W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ | | | | |
| US 2004236084 | A1 | 20041125 | US 2004-759749 | 20040116 |
| PRIORITY APPLN. INFO.: | | | US 2003-440805P | P 20030117 |
| OTHER SOURCE(S): | | MARPAT 141:174079 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

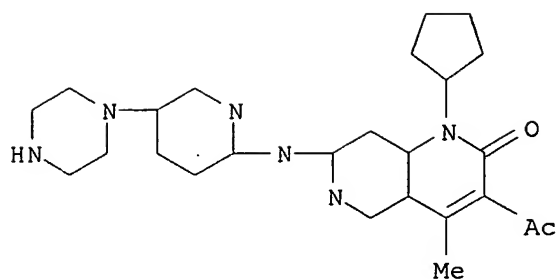
AB Title compds. I [wherein A1 = (un)substituted monocyclic or bicyclic heteroaryl; R1 = H, alk(en)yl, acyl, aryloxy carbonyl, alkyloxy carbonyl, trialkylsilyl; X, Y = independently H, halo, CN, alkyl, alkyl carbonyl, alkoxy carbonyl, NO2, OH and derivs., NH2 and derivs., SO2NH2 and derivs., etc; W = H, halo, cyclo/alkoxy/halo/hydroxy/alkyl, alkenyl, alkynyl, CN, NO2, SH and derivs., NH2 and derivs., SO2NH2 and derivs., heteroaryl, etc.; WCCX, or WCCY = (un)substituted aryl ring containing up to three heteroatoms; and their pharmaceutically acceptable salts, esters, amides, or prodrugs] were prepared as cyclin-dependent kinases 4 (cdk4) inhibitors. For example, II was prepared by cyclocondensation of guanidine III with 2-Cyclopentyl-6-hydroxymethylene-3-methoxycyclohex-2-en-1-one, dehydrogenation, and BOC-deprotection. II selectively inhibited cdk4 over cdk2 with IC50 values of 0.004 μ M and 1.7 μ M, resp. Thus, I and their formulations are useful for treating cell proliferative disorders, such as cancer, atherosclerosis, and restenosis (no data).

IT 733040-10-3P, 3-Acetyl-1-cyclopentyl-4-methyl-7-[5-(piperazin-1-yl)pyridin-2-ylamino]-1H-[1,6]naphthyridin-2-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cdk4 inhibitor; preparation of 2-aminopyridines as cdk4 inhibitors for treating cell proliferative disorders)

RN 733040-10-3 HCAPLUS

CN 1,6-Naphthyridin-2(1H)-one, 3-acetyl-1-cyclopentyl-4-methyl-7-[[5-(1-piperazinyl)-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

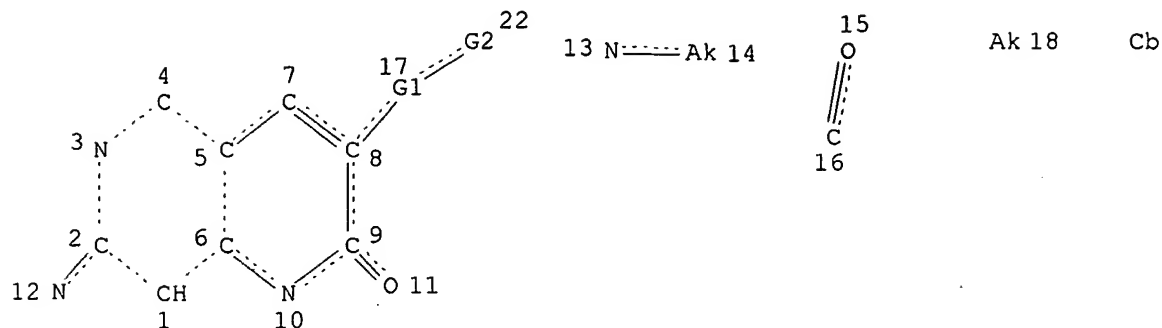
Beilstein

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19 Ak-----Cb 21 O 23 S 24 NH 25

Page 1-A

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Page 1-B

VAR G1=23/24/25/13-8 13-22/16-8 16-22

VAR G2=18/19/20

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 12

CONNECT IS E1 RC AT 14

CONNECT IS E1 RC AT 18

CONNECT IS E2 RC AT 19

CONNECT IS E1 RC AT 20

CONNECT IS E1 RC AT 21

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 11 12 13 14 15 16 18 19 23 24

GGCAT IS SAT AT 20

GGCAT IS SAT AT 21

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

L8 0 SEA FILE=BEILSTEIN SSS FUL L2

Marpat 10634936 con

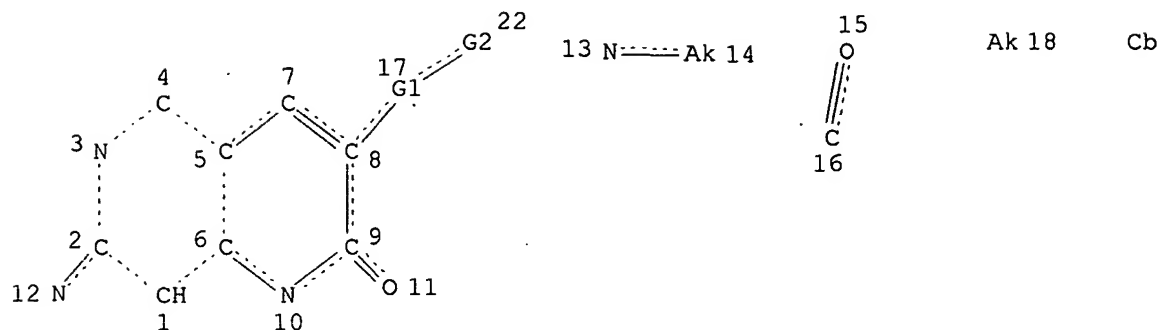
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02/04/2005

=> d que

L2

STR



19 Ak-----Cb 21 O 23 S 24 NH 25

Page 1-A

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Page 1-B

VAR G1=23/24/25/13-8 13-22/16-8 16-22

VAR G2=18/19/20

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 12

CONNECT IS E1 RC AT 14

CONNECT IS E1 RC AT 18

CONNECT IS E2 RC AT 19

CONNECT IS E1 RC AT 20

CONNECT IS E1 RC AT 21

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 11 12 13 14 15 16 18 19 23 24

GGCAT IS SAT AT 20

GGCAT IS SAT AT 21

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

L4 1 SEA FILE=REGISTRY SSS FUL L2

L5 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L4

L6 6 SEA FILE=MARPAT SSS FUL L2

L7 5 SEA FILE=MARPAT ABB=ON PLU=ON L6 NOT L5

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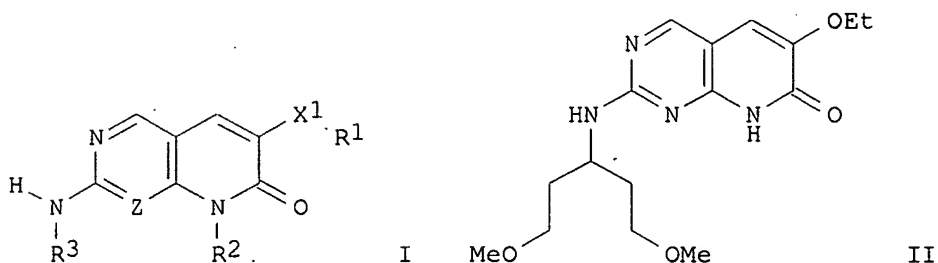
L7 ANSWER 1 OF 5 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:199338 MARPAT

TITLE: Preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP

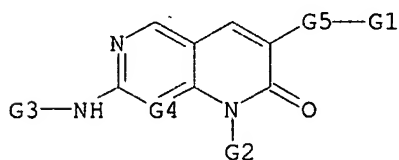
kinase inhibitors
 INVENTOR(S): Goldstein, David Michael; Lim, Julie Anne
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004014907 | A1 | 20040219 | WO 2003-EP8357 | 20030729 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004038999 | A1 | 20040226 | US 2003-634936 | 20030805 |
| PRIORITY APPLN. INFO.: | | | US 2002-401491P | 20020806 |
| GI | | | | |



AB The title compds. [I; R¹ = alkyl, cycloalkyl, cycloalkylalkyl, or CH₂(alkenyl); X¹ = O, NH, N(alkyl), S, CO; Z = N, CH; R² = H, alkyl, cycloalkyl, etc.; R³ = alkyl, haloalkyl, aryl, etc.], were prepared E.g., a 3-step synthesis of II (starting from 4-amino-2-butylsulfanyl-4,5-dihydropyrimidine-5-carboxaldehyde and Et ethoxyacetate) which showed IC₅₀ of about 7.7 μM in p38 MAP kinase in vitro assay, was given. The pharmaceutical composition comprising the compound I is claimed.

MSTR 1



G1 = alkyl<(1-8)> (SO (1-) G7)
 G4 = CH
 G5 = O
 MPL: claim 1
 NTE: substitution is restricted
 NTE: or pharmaceutically acceptable salts, hydrates, and prodrugs

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 5 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 129:216627 MARPAT
 TITLE: Preparation of aza and aza(N-oxy) analogs of glycine/NMDA receptor antagonists
 INVENTOR(S): Keana, John F. W.; Cai, Sui Xiong; Zhou, Zhang-lin; Navratil, James M.
 PATENT ASSIGNEE(S): Oregon Health Sciences University and the University of Oregon, USA; Cocensys, Inc.
 SOURCE: U.S., 43 pp., Cont.-in-part of U. S Ser. No. 379,699, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

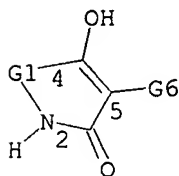
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 5801183 | A | 19980901 | US 1995-466043 | 19950606 |
| CA 2211608 | AA | 19960801 | CA 1995-2211608 | 19951221 |
| WO 9622990 | A2 | 19960801 | WO 1995-US16575 | 19951221 |
| WO 9622990 | A3 | 19961010 | | |
| W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9646024 | A1 | 19960814 | AU 1996-46024 | 19951221 |
| AU 718748 | B2 | 20000420 | | |
| BR 9510265 | A | 19971104 | BR 1995-10265 | 19951221 |
| EP 805809 | A2 | 19971112 | EP 1995-944152 | 19951221 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| JP 2002515012 | T2 | 20020521 | JP 1996-522852 | 19951221 |
| FI 9703047 | A | 19970828 | FI 1997-3047 | 19970718 |
| NO 9703402 | A | 19970917 | NO 1997-3402 | 19970723 |
| PRIORITY APPLN. INFO.: | | | US 1995-379699 | 19950127 |
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| | | | WO 1995-US16575 | 19951221 |

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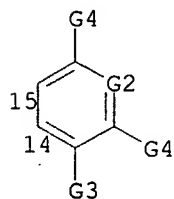
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title pyridine and pyridine(N-oxide) analogs of 4-hydroxydihydroquinolones, tetrahydroquinoline-trione-oximes and quinoxalones [I-IV; R15, R16 = H, halo, CN, etc.; R17 = H, halo, CN, etc.; R18 = H, F; R11 = H, halo, CN, etc.; n = 0-1], useful in treating or preventing neuronal loss associated with stroke, ischemia, CNS trauma, hypoglycemia and surgery, as well as in treating neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease, and Down's syndrome, treating or preventing adverse consequences of the hyperactivity of the excitatory amino acids, as well as treating anxiety, chronic pain, convulsions, inducing anesthesia, and treating or preventing opiate tolerance, were prepared Thus, reaction of Et 3-amino-5-chloropicolinate with the freshly prepared m-phenoxyphenylacetic acid chloride in the presence of Et3N in ClCH2CH2Cl followed by treatment of the resulting Et 5-chloro-3-(m-phenoxyphenylacetamido)nicotinate in THF with KHDMS in PhMe afforded I [R16 = R18 = H; R17 = Cl; R11 = 3=PhO; n = 0] which showed Ki of 5 nM in the glycine/NMDA receptor and ED50 of 3 mg/kg as an anticonvulsant in a MES experiment in mice.

MSTR 1



G1 = 15-4 14-2



G2 = N
G4 = N3
G6 = 104

$\text{C}(\text{O})\text{-G7}$
104

G7 = alkyl<(1-6)> (SO G8)
DER: or tautomers or pharmaceutically acceptable salts
MPL: claim 32
NTE: substitution is restricted

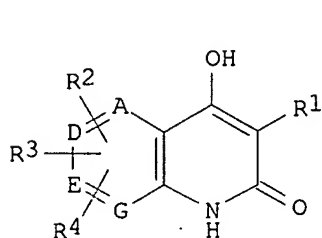
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 5 MARPAT COPYRIGHT 2005 ACS on STN

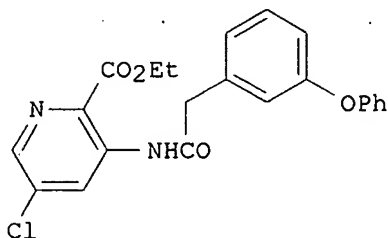
ACCESSION NUMBER: 125:195443 MARPAT
 TITLE: Preparation of azaquinolin-2-ones and their N-oxides as glycine/NMDA receptor antagonists
 INVENTOR(S): Keana, John F. W.; Cai, Sui Xiong; Martin, Vladimir V.; Zhou, Zhang-Lin; Navratil, James M.
 PATENT ASSIGNEE(S): State of Oregon, USA; Acea Pharmaceuticals, Inc.
 SOURCE: PCT Int. Appl., 132 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9622990 | A2 | 19960801 | WO 1995-US16575 | 19951221 |
| WO 9622990 | A3 | 19961010 | | |
| W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5801183 | A | 19980901 | US 1995-466043 | 19950606 |
| AU 9646024 | A1 | 19960814 | AU 1996-46024 | 19951221 |
| AU 718748 | B2 | 20000420 | | |
| BR 9510265 | A | 19971104 | BR 1995-10265 | 19951221 |
| EP 805809 | A2 | 19971112 | EP 1995-944152 | 19951221 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| JP 2002515012 | T2 | 20020521 | JP 1996-522852 | 19951221 |
| FI 9703047 | A | 19970828 | FI 1997-3047 | 19970718 |
| NO 9703402 | A | 19970917 | NO 1997-3402 | 19970723 |
| PRIORITY APPLN. INFO.: | | | US 1995-379699 | 19950127 |
| | | | US 1995-466043 | 19950606 |
| | | | WO 1995-US16575 | 19951221 |

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I

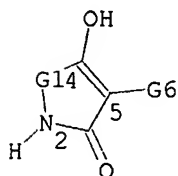


II

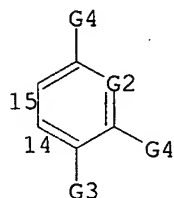
AB The title compds. [I; A, D, E, G = C, N and one or two of them is N; R1 = NO2, CN, CF3, etc.; R2, R3, R4 = H, NO2, NH2, etc.], useful in the treatment of neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease, and Down's syndrome, and for treating or preventing opiate tolerance, and as analgesics, anxiolytics, anticonvulsants, anesthetics and antipsychotics, were prepared

Thus, amidation of 3-PhOC₆H₄COC₁ with Et 3-amino-5-chloropicolinate in the presence of Et₃N in Cl(CH₂)₂Cl followed by cyclization of the intermediate II in the presence of KHDMS/PhMe in THF afforded I [A = N; D, E, G = C; R₁ = 3-PhOC₆H₄; R₂ = 7-Cl; R₃, R₄ = H]. Typically, the compds. I are effective at 0.0025-50 mg/kg/day (orally) in mammals, e.g. humans.

MSTR 1



G1 = 15-4 14-2



G2 = N
G4 = alkylamino<(1-4)>
G6 = 104

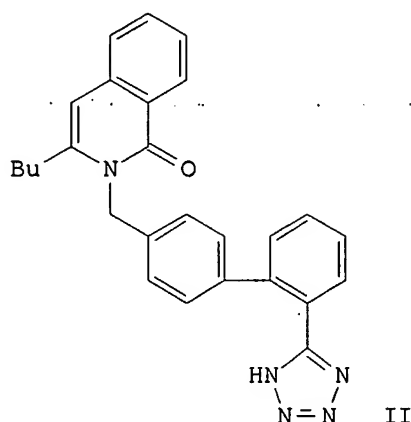
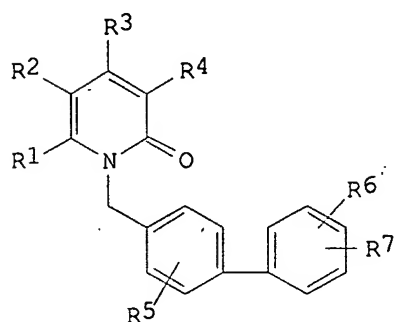
C(O)G7
104

G7 = alkyl (SO G8)
DER: or tautomers or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 4 OF 5 MARPAT COPYRIGHT 2005 ACS on STN

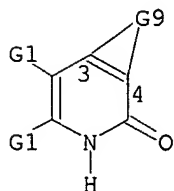
ACCESSION NUMBER: 120:244703 MARPAT
TITLE: Biphenylmethyl-substituted pyridone derivatives
INVENTOR(S): Dressel, Juergen; F  y, Peter; Hanco, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller, Ulrich; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| DE 4215588 | A1 | 19931118 | DE 1992-4215588 | 19920512 |
| AU 9337109 | A1 | 19931118 | AU 1993-37109 | 19930422 |
| NO 9301535 | A | 19931115 | NO 1993-1535 | 19930427 |
| EP 569794 | A1 | 19931118 | EP 1993-106987 | 19930429 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| US 5407942 | A | 19950418 | US 1993-58550 | 19930505 |
| JP 06056783 | A2 | 19940301 | JP 1993-129946 | 19930506 |
| CA 2095802 | AA | 19931113 | CA 1993-2095802 | 19930507 |
| ZA 9303274 | A | 19931129 | ZA 1993-3274 | 19930511 |
| CN 1082029 | A | 19940216 | CN 1993-105751 | 19930512 |
| PRIORITY APPLN. INFO.: | | | DE 1992-4215588 | 19920512 |
| GI | | | | |

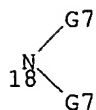


AB The title compds., 1-(4-biphenylmethyl)-2-pyridinones I (R1, R2 = H, cyano, etc.; R3R4 = Ph or pyridyl ring; R5, R6 = H, alkyl, etc.; R7 = tetrazolyl) and their uses for the treatment of arterial hypertonia (antihypertensives) or atherosclerosis are claimed. An example compound, the 3-butyl-1-[(tetrazolylbiphenyl)methyl]-2-isoquinolinone II was prepared in several steps. II had activity as angiotensin II antagonist in rats.

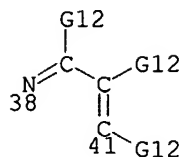
MSTR 2



G1 = 18



G9 = 38-3 41-4



G12 = OH / alkylcarbonyl<(-8)>
MPL: claim 5

L7 ANSWER 5 OF 5 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 120:217310 MARPAT

TITLE: Preparation of N-(sulfonylbenzyl)benzo- and
-pyridopyridones as angiotensin II antagonists

INVENTOR(S): Dressel, Juergen; Fey, Peter; Hanco, Rudolf H.;
Huebsch, Walter; Kraemer, Thomas; Mueller, Ulrich E.;
Mueller-Gliemann, Matthias; Beuck, Martin; Kazda,
Stanislav; et al.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

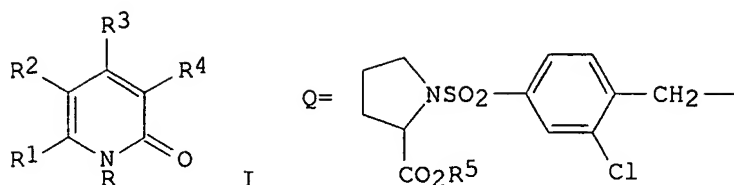
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

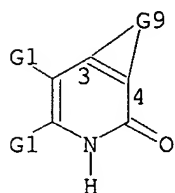
PATENT INFORMATION:

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|---|------|----------|-----------------|----------|
| EP 569795 | A1 | 19931118 | EP 1993-106988 | 19930429 |
| EP 569795 | B1 | 19950412 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| DE 4215587 | A1 | 19931118 | DE 1992-4215587 | 19920512 |
| AU 9337106 | A1 | 19931118 | AU 1993-37106 | 19930422 |
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| AT 121086 | E | 19950415 | AT 1993-106988 | 19930429 |
| ES 2072784 | T3 | 19950716 | ES 1993-106988 | 19930429 |
| US 5354749 | A | 19941011 | US 1993-58548 | 19930505 |
| JP 06049031 | A2 | 19940222 | JP 1993-127805 | 19930506 |
| CA 2095801 | AA | 19931113 | CA 1993-2095801 | 19930507 |
| ZA 9303273 | A | 19931129 | ZA 1993-3273 | 19930511 |
| CN 1080923 | A | 19940119 | CN 1993-105760 | 19930512 |
| PRIORITY APPLN. INFO.: | | | DE 1992-4215587 | 19920512 |
| GI | | | | |



AB Title compds. [I; R = CH₂ZSO₂A; A = N-attached (substituted)heterocyclyl; R₁,R₂ = H, cyano, alk(en)yl, alkoxy carbonyl, Ph, etc.; R₃R₄ = atoms to complete a fused benzene or pyridine ring; Z = (substituted) 1,4-phenylene] were prepared Thus, 2-MeC₆H₄CN was treated with K in liquid NH₃ followed by addition of BuCO₂Me to give 2-(NC)C₆H₄CH₂COBu which was cyclized to give I (R₁ = Bu, R₂ = H, R₃R₄ = CH:CHCH:CH) (II; R = H). The latter was condensed with (S)-R₅Br (R₅ = pyrrolidinosulfonylbenzyl group Q; R₆ = CMe₃) (preparation given) to give, after saponification, II (R = Q, R₆ = H) which had IC₅₀ = 660nM against angiotensin II-induced contraction of rabbit aorta rings.

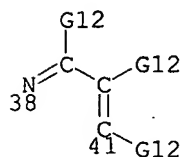
MSTR 2



G1 = 18



G9 = 38-3 41-4



G12 = OH / alkylcarbonyl<(-8)>
MPL: claim 5